

(FILE 'HOME' ENTERED AT 17:48:02 ON 11 APR 2006)

FILE 'CAPLUS' ENTERED AT 17:48:11 ON 11 APR 2006

FILE 'REGISTRY' ENTERED AT 17:48:27 ON 11 APR 2006

L1           1 S 99614-01-4

FILE 'CAPLUS' ENTERED AT 17:48:51 ON 11 APR 2006

L2           26 S 99614-01-4/PREP

L3           15 S 99614-01-4/PROC

L4           0 S 99614-01-4/PUR

L5           40 S L2 OR L3

L6           25 S L5 AND PY<2000

L7           0 S L6 AND CRYSTAL?

L8           0 S L6 AND POLYMORPH?

L9           0 S L6 AND ISOPROPANOL AND ETHER AND XYLENE AND TOLUENE

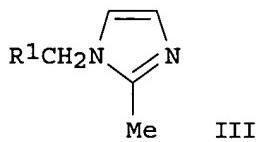
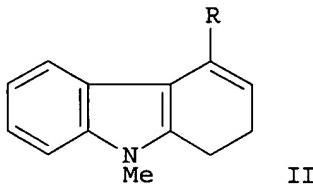
L10          0 S L6 AND ISOPROPANOL AND ETHER AND XYLENE

L11          0 S L6 AND ETHER AND XYLENE

L12          2 S L6 AND (ISOPROPANOL OR ETHER OR XYLENE OR TOLUENE)

L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1995:998115 CAPLUS  
 DOCUMENT NUMBER: 124:176098  
 TITLE: Preparation of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one  
 INVENTOR(S): Zhang, Yuebin; Wang, Anmin  
 PATENT ASSIGNEE(S): Qilu Pharmaceutical Factory, Peop. Rep. China  
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11 pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1105364	A	19950719	CN 1993-115273	19931222 <--
PRIORITY APPLN. INFO.:			CN 1993-115273	19931222
OTHER SOURCE(S):	CASREACT 124:176098; MARPAT 124:176098			
GI				



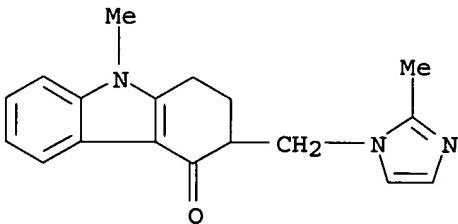
AB The title compound (I) was prepared by reaction of enamines II ( $R = NR22$ ,  $N-R3$ ;  $R2 =$  alkyl, cycloalkyl, aryl;  $NR22 =$  pyrrolidino, piperidino, morpholino, etc.;  $R3 =$  alkyl, cycloalkyl, aryl) with imidazoles III ( $R1 =$  halo, sulfonyloxy, OH, alkoxy). Thus, reaction of 1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one with pyrrolidine in toluene in the presence of p-toluenesulfonic acid gave the enamine intermediate, which was refluxed with 1-(chloromethyl)-2-methyl-1H-imidazole in acetonitrile for 6 h to give, after treatment with aqueous HCl, hydrochloride salt of I.

IT 99614-01-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of (imidazolylmethyl)tetrahydrocarbazolone)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

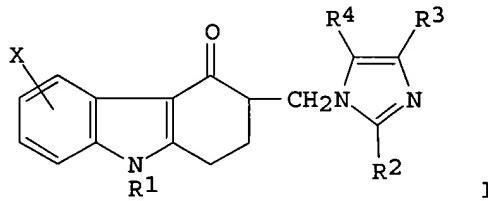


● HCl

L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1987:576032 CAPLUS  
 DOCUMENT NUMBER: 107:176032  
 TITLE: Preparation of tetrahydrocarbazolone derivatives as serotonin antagonists  
 INVENTOR(S): Coates, Ian Harold; Bell, James Angus; Humber, David Cedric; Ewan, George Blanch  
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
 SOURCE: Eur. Pat. Appl., 54 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 219193	A1	19870422	EP 1986-305674	19860723 <--
EP 219193	B1	19920527		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4725615	A	19880216	US 1986-888258	19860723 <--
AT 76642	E	19920615	AT 1986-305674	19860723 <--
JP 62077382	A2	19870409	JP 1986-174685	19860724 <--
PRIORITY APPLN. INFO.:			GB 1985-18743	A 19850724
			EP 1986-305674	A 19860723

OTHER SOURCE(S): MARPAT 107:176032  
GI



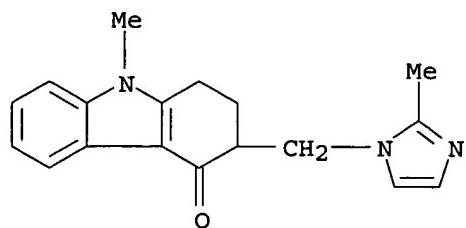
**AB** Tetrahydrocarbazolones I (R1 = H, C1-10 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4-alkyl, C3-6 alkenyl, C3-10 alkynyl, Ph, phenyl-C1-3 alkyl; one of R2, R3, R4 = H, C1-6 alkyl, C3-9 cycloalkyl, C2-6 alkenyl, phenyl-C1-3-alkyl, each of the other groups = H, C1-6 alkyl; X = halo, OH, C1-4 alkoxy, phenyl-C1-3-alkoxy, C1-6 alkyl, NR5R6, CONR56; R5, R6 = H, C1-4 alkyl, C3-4 alkenyl; NR5R6 = saturate 5-7 membered ring) and their salts, potent and selective neuronal 5-hydroxytryptamine receptor antagonists and useful in the treatment of psychotic disorders (e.g. schizophrenia and mania), anxiety, pain, gastric stasis, symptoms of gastrointestinal dysfunction such as occur with dyspepsia, peptic ulcer, reflux esophagitis, and flatulence, migraine, nausea, and vomiting (no data), were prepared by 6 methods. 4-FC6H4NHNH2.HCl reacted with 1,3-cyclohexanedione to give 3-hydroxy-2-cyclohexen-1-one (4-fluorophenyl)hydrazone which was cyclized with ZnCl2 in refluxing EtOAc to give 6-fluoro-1,2,3,9-tetrahydro-4H-carbazol-4-one. This was methylated with Me2SO4 to the 9-Me derivative, aminomethylation of which with paraformaldehyde and Me2NH.HCl gave 3-[(dimethylamino)methyl]-6-fluoro-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one. This reacted successively with MeI and 2-methylimidazole to give I (R1 = R2 = Me, R3 = R4 = H, X = 6-F). A formulation for injection comprised active ingredient 2.0 mg/mL, NaCl as required, and H2O for injection to 1.0 mL.

**IT** 99614-01-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as 5-hydroxytryptamine receptor antagonist)

**RN** 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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